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ΤI
       Preparation of cyclic urea derivatives with 5-HT2c receptor activity
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      Glaxo Group Limited, UK
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               CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
               GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
               LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
               PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF,
               BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
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AB Title compds. I [p = 0-5; m = 1-3; Y = N, C; A = O, N, CONH, NHCO, etc.; R1 = halo, alkyl, alkoxy, alkylthio, etc.; R2 = H, halo, alkyl, alkoxy, haloalkyl, haloalkoxy; R3 = amino; X = CH2, CO] are prepared For instance, 2-(3-fluorophenylamino) ethanol (preparation given) is reacted with MsCl/CH2Cl2 followed by 3-benzyloxy-4-methoxyphenylamine to give the corresponding substituted diamine. This intermediate is treated with phosgene to give 1-(3-benzyloxy-4-methoxyphenyl)-3-(3-fluorophenyl) imidazolidin-2-one. Substitution of this using 1-(2-chloroethyl)piperidine•HCl (MeOCH2CH2OMe, K2CO3, reflux, 5 h) afforded II. I exhibit 5-HT2c receptor activity and are useful for the treatment of CNS disorders such as depression or anxiety.

MSTR 1

$$G1 = CN / CF3$$
 $G3 = 45-1 46-3$

H2C-4G10